

(19)



Europäisches Patentamt

European Patent Office

Offic européen des brevets



(11)

EP 1 074 258 A3

(12)

EUROPEAN PATENT APPLICATION

(88) Date of publication A3:
18.04.2001 Bulletin 2001/16

(51) Int. Cl.⁷: **A61K 31/519**, A61P 27/02,
A61P 27/06

(43) Date of publication A2:
07.02.2001 Bulletin 2001/06

(21) Application number: **00306235.3**

(22) Date of filing: **21.07.2000**

(84) Designated Contracting States:
**AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU
MC NL PT SE**
Designated Extension States:
AL LT LV MK RO SI

(30) Priority: **28.07.1999 US 146095**

(71) Applicant: **Pfizer Products Inc.**
Groton, Connecticut 06340 (US)

(72) Inventor: **Latles, Alan Malev**
Philadelphia, Pennsylvania 19119 (US)

(74) Representative:
McMunn, Watson Palmer et al
Pfizer Limited
Patents Department
Ramsgate Road
Sandwich, Kent CT13 9NJ (GB)

(54) **Methods and compositions for treating diseases and conditions of the eye**

(57) Methods for the prevention and treatment of diseases and conditions of the eye including, but are not limited to: central retinal artery occlusion; central retinal vein occlusion; optic neuropathy including, but not limited to, anterior ischemic optic neuropathy and glaucomatous optic neuropathy; and macular (dry) degeneration are disclosed. These methods comprise administering to a patient a prophylactically or therapeutically effective amount of a cyclic guanosine 3',5'-monophosphate phosphodiesterase type 5 inhibitor. Pharmaceutical compositions and dosage forms comprising cyclic guanosine 3',5'-monophosphate phosphodiesterase type 5 inhibitors are also disclosed.

EP 1 074 258 A3



European Patent
Office

PARTIAL EUROPEAN SEARCH REPORT

Application Number

which under Rule 45 of the European Patent Convention shall be considered, for the purposes of subsequent proceedings, as the European search report

EP 00 30 6235

DOCUMENTS CONSIDERED TO BE RELEVANT			
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int.Cl.7)
D,X	US 5 250 534 A (BELL ANDREW S ET AL) 5 October 1993 (1993-10-05) * abstract * * column 1, line 11 - line 39 * * column 2, line 64 - column 3, line 19 * * column 6, line 5 - line 21 * * column 6, line 41 - column 7, line 24 * * example 12 * * claims 1-4 *	1-10, 12-14	A61K31/519 A61P27/02 A61P27/06
X	LATIES A.M., FRAUNFELDER, F.T.: "Ocular safety of Viagra, (Sildenafil citrate)" TRANSACTIONS OF THE AMERICAN OPHTHALMOLOGICAL SOCIETY, vol. 97, 1999, pages 115-128, XP000981840 * abstract * * page 116, paragraph 3 - page 118, paragraph 3 * * page 119, paragraph 1 - paragraph 3 * * page 120, paragraph 2 - page 121, paragraph 3 * * page 124, paragraph 2 * -- -/-	1-10, 12-14	TECHNICAL FIELDS SEARCHED (Int.Cl.7) A61K
INCOMPLETE SEARCH			
<p>The Search Division considers that the present application, or one or more of its claims, does/do not comply with the EPC to such an extent that a meaningful search into the state of the art cannot be carried out, or can only be carried out partially, for these claims.</p> <p>Claims searched completely :</p> <p>Claims searched incompletely :</p> <p>Claims not searched :</p> <p>Reason for the limitation of the search:</p> <p>see sheet C</p>			
Place of search		Date of completion of the search	Examiner
THE HAGUE		21 February 2001	Cielen, E
CATEGORY OF CITED DOCUMENTS			
<p>X : particularly relevant if taken alone</p> <p>Y : particularly relevant if combined with another document of the same category</p> <p>A : technological background</p> <p>O : non-written disclosure</p> <p>P : intermediate document</p>		<p>T : theory or principle underlying the invention</p> <p>E : earlier patent document, but published on, or after the filing date</p> <p>D : document cited in the application</p> <p>L : document cited for other reasons</p> <p>& : member of the same patent family, corresponding document</p>	

EPO FORM 1503 03 82 (P04C07)



European Patent
Office

PARTIAL EUROPEAN SEARCH REPORT

Application Number
EP 00 30 6235

DOCUMENTS CONSIDERED TO BE RELEVANT			CLASSIFICATION OF THE APPLICATION (Int.Cl.7)
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
X	WO 98 37894 A (BYK GULDEN LOMBERG CHEM FAB ;SCHUDT CHRISTIAN (DE)) 3 September 1998 (1998-09-03) * page 1, paragraphs 3,5 * * page 4, paragraph 4 - paragraph 5 * * page 5, paragraph 3 * ---	1-10	
X	WO 99 21831 A (KURODA AKIO ;OHNE KAZUHIKO (JP); OKU TERUO (JP); SAWADA KOZO (JP);) 6 May 1999 (1999-05-06) * page 7, line 9 - line 33 * * claims 1,9,10 * ---	1,11-14	
D,X	EP 0 636 626 A (GLAXO LAB SA) 1 February 1995 (1995-02-01) * abstract * * page 5, line 52 - page 6, line 33 * * claims 1,11,13 * ---	1,12-14	TECHNICAL FIELDS SEARCHED (Int.Cl.7)
X	US 5 079 253 A (HOYNG PHILIP ET AL) 7 January 1992 (1992-01-07) * abstract * * column 1, line 5 - line 26 * * column 2, line 62 - column 3, line 43 * * column 4, line 21 - line 27 * --- -/-	1,12-14	



European Patent
Office

PARTIAL EUROPEAN SEARCH REPORT

Application Number
EP 00 30 6235

DOCUMENTS CONSIDERED TO BE RELEVANT			CLASSIFICATION OF THE APPLICATION (Int.Cl.7)
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
A	<p>MORELAND ROBERT B ET AL: "Sildenafil citrate, a selective phosphodiesterase type 5 inhibitor: Research and clinical implications in erectile dysfunction." TRENDS IN ENDOCRINOLOGY AND METABOLISM, vol. 10, no. 3, April 1999 (1999-04), pages 97-104, XP000982967 ISSN: 1043-2760 * abstract * * page 100, column 2, paragraph 2 - column 3, paragraph 1 * * page 101, column 2, paragraph 3 * * page 101, column 3, paragraph 2 * * page 102, column 1, paragraph 2 *</p>		
A	<p>DREYER ET AL: "Excitatory aminoacids in glaucoma: a potentially novel etiology of neuronal loss in this optic neuropathy" SOCIETY FOR NEUROSCIENCE ABSTRACTS, US, SOCIETY FOR NEUROSCIENCE, vol. 18, no. 1/02, 26 October 1992 (1992-10-26), page 439 XP002082715 ISSN: 0190-5295 * the whole document *</p>		TECHNICAL FIELDS SEARCHED (Int.Cl.7)
P,X	<p>WO 00 00199 A (ROMEO VINCENT D ;BEHL CHARANJIT R (US); NASTECH PHARM CO (US)) 6 January 2000 (2000-01-06) * page 3, line 1 - line 9 * * page 5, line 30 - page 6, line 7 * * page 9, line 6 - line 19 * * claims 15,17,22 *</p>	1-10, 12-14	
	-/--		



European Patent
Office

PARTIAL EUROPEAN SEARCH REPORT

Application Number

EP 00 30 6235

DOCUMENTS CONSIDERED TO BE RELEVANT			CLASSIFICATION OF THE APPLICATION (Int. CL.7)
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
P, A	SPONSEL W.E., SANDOVAL S. ET AL.: "Effects of Sildenafil (Viagra) on ocular perfusion and contrast sensitivity" IOVS ANNUAL MEETING OF THE ASSOCIATION FOR RESEARCH IN VISION AND OPHTHALMOLOGY. FORT LAUDERDALE, FLORIDA, USA, APRIL 30 - MAY 05, 2000, XP000986405 * the whole document *	1-13	
			TECHNICAL FIELDS SEARCHED (Int. CL.7)

EPO FORM 1503 01.02 (P04C10)



European Patent
Office

INCOMPLETE SEARCH
SHEET C

Application Number

EP 00 30 6235

Claim(s) searched completely:

2-10

Claim(s) searched incompletely:

1, 11-15

Reason for the limitation of the search:

Present claims 1, 11-15 relate to a compound defined by reference to a desirable characteristic or property, namely "a cyclic guanosine 3', 5'-monophosphate phosphodiesterase type 5 inhibitor". The claims cover all compounds having this characteristic or property, whereas the application provides support within the meaning of Article 84 EPC and/or disclosure within the meaning of Article 83 EPC for only a very limited number of such compounds. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Independent of the above reasoning, the claims also lack clarity (Article 84 EPC). An attempt is made to define the compound by reference to a result to be achieved. Again, this lack of clarity in the present case is such as to render a meaningful search over the whole of the claimed scope impossible. Consequently, the search has been carried out for those parts of the claims which appear to be clear, supported and disclosed, namely those parts relating to the compounds disclosed in claims 2-7.

**ANNEX TO THE EUROPEAN SEARCH REPORT
ON EUROPEAN PATENT APPLICATION NO.**

EP 00 30 6235

This annex lists the patent family members relating to the patent documents cited in the above-mentioned European search report. The members are as contained in the European Patent Office EDP file on
The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

21-02-2001

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 5250534 A	05-10-1993	US 5346901 A	13-09-1994
		US 5719283 A	17-02-1998
		AT 121403 T	15-05-1995
		AU 626757 B	06-08-1992
		AU 7915591 A	19-03-1992
		BR 9102560 A	21-01-1992
		CA 2044748 A,C	21-12-1991
		CN 1057464 A,B	01-01-1992
		CS 9101876 A	15-04-1992
		CY 1971 A	05-09-1997
		DE 69108991 D	24-05-1995
		DE 69108991 T	31-08-1995
		DK 463756 T	25-09-1995
		EG 19651 A	31-10-1995
		EP 0463756 A	02-01-1992
		ES 2071919 T	01-07-1995
		FI 913017 A,B,	21-12-1991
		HK 219496 A	03-01-1997
		HU 61312 A	28-12-1992
		IE 912094 A	01-01-1992
		IL 98482 A	27-11-1995
		JP 2087736 C	02-09-1996
		JP 6041133 A	15-02-1994
		JP 7121945 B	25-12-1995
		KR 9406628 B	23-07-1994
		LU 90360 A	03-05-1999
		NO 178029 B	02-10-1995
		NZ 238586 A	26-08-1993
		PL 166490 B	31-05-1995
		PT 98011 A,B	31-03-1992
		RU 2047617 C	10-11-1995
		RU 2114114 C	27-06-1998
		ZA 9104707 A	24-02-1993
		HU 9500148 A	28-07-1995
WO 9837894 A	03-09-1998	AU 6823098 A	18-09-1998
		EP 0975347 A	02-02-2000
WO 9921831 A	06-05-1999	NONE	
EP 0636626 A	01-02-1995	JP 7070128 A	14-03-1995
US 5079253 A	07-01-1992	AT 78701 T	15-08-1992
		AU 609807 B	09-05-1991
		AU 1545788 A	02-11-1988
		CA 1301654 A	26-05-1992

EPO FORM P0459

For more details about this annex : see Official Journal of the European Patent Office, No. 12/82

**ANNEX TO THE EUROPEAN SEARCH REPORT
ON EUROPEAN PATENT APPLICATION NO.**

EP 00 30 6235

This annex lists the patent family members relating to the patent documents cited in the above-mentioned European search report. The members are as contained in the European Patent Office EDP file on
The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

21-02-2001

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 5079253 A		DE 3873234 A	03-09-1992
		DE 3873234 D	03-09-1992
		DE 3873234 T	03-12-1992
		EP 0308460 A	29-03-1989
		JP 1502829 T	28-09-1989
		WO 8807380 A	06-10-1988
WO 0000199 A	06-01-2000	AU 4717299 A	17-01-2000

EPO FORM P0489

For more details about this annex : see Official Journal of the European Patent Office, No. 12/82